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FILE 'HOME' ENTERED AT 21:22:26 ON 16 JUN 2006

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=> fil reg
COST IN U.S. DOLLARS
SINCE FILE          TOTAL
ENTRY             SESSION
FULL ESTIMATED COST          0.21      0.21
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FILE 'REGISTRY' ENTERED AT 21:22:31 ON 16 JUN 2006
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STRUCTURE FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4
 DICTIONARY FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

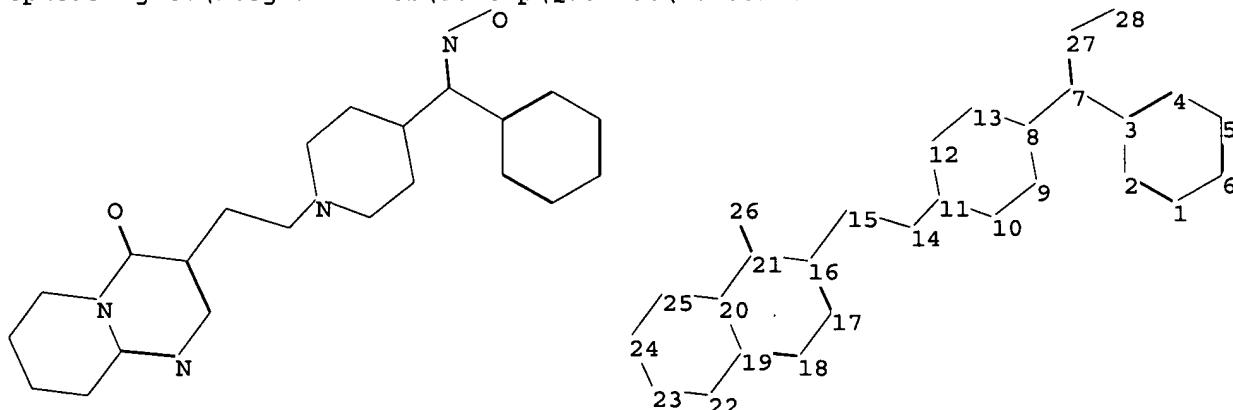
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*****
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS
 for details.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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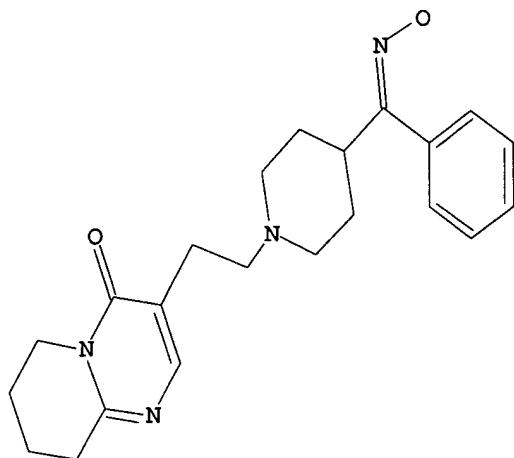
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chain nodes :
7 14 15 26 27 28
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 16 17 18 19 20 21 22 23 24 25
```

chain bonds :
 3-7 7-8 7-27 11-14 14-15 15-16 21-26 27-28
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 16-17 16-21
 17-18 18-19 19-20 19-22 20-21 20-25 22-23 23-24 24-25
 exact/norm bonds :
 7-27 8-9 8-13 9-10 10-11 11-12 11-14 12-13 16-17 16-21 17-18 18-19
 19-20 19-22 20-21 20-25 21-26 22-23 23-24 24-25 27-28
 exact bonds :
 3-7 7-8 14-15 15-16
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d 11
 L1 HAS NO ANSWERS
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11
 SAMPLE SEARCH INITIATED 21:22:51 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

 100.0% PROCESSED 2 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

 FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 2 TO 124
 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s 12 full
 FULL SEARCH INITIATED 21:22:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS
SEARCH TIME: 00.00.01

5 ANSWERS

L3 5 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
166.94	167.15

FILE 'CAPLUS' ENTERED AT 21:23:04 ON 16 JUN 2006
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FILE COVERS 1907 - 16 Jun 2006 VOL 144 ISS 26
FILE LAST UPDATED: 15 Jun 2006 (20060615/ED)

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<http://www.cas.org/infopolicy.html>

=> s 13
L4 5 L3

=> d 14 ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:927203 CAPLUS
DOCUMENT NUMBER: 141:400904
TITLE: Risperidone monohydrochloride
INVENTOR(S): Bartl, Jiri; Gieling, Reinerus Gerardus
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004094415	A1	20041104	WO 2004-EP4129	20040415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG
 EP 1615923 A1 20060118 EP 2004-727562 20040415
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 US 2004266790 A1 20041230 US 2004-825683 20040416
 US 2004266791 A1 20041230 US 2004-825684 20040416
 NO 2005005490 A 20060123 NO 2005-5490 20051121
 PRIORITY APPLN. INFO.: US 2003-464364P P 20030422
 WO 2004-EP4129 W 20040415

AB Hydrochloride salts of risperidone have been found to have useful properties. A preferred form is crystalline risperidone monohydrochloride hemipentahydrate. The monohydrochloride salts can be used in pharmaceutical compns. and methods such as for use in treating psychotic disorders.

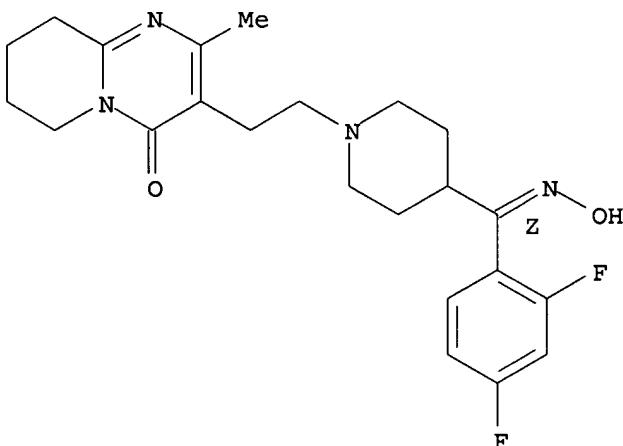
IT 132961-05-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(risperidone monohydrochloride)

RN 132961-05-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

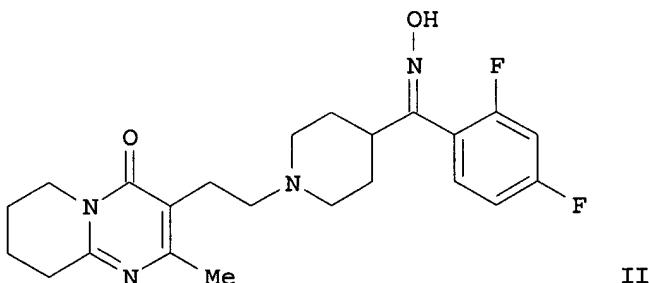
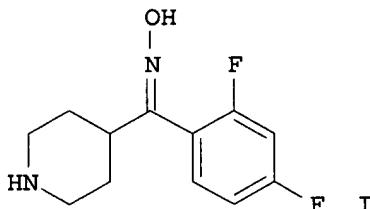


L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:414637 CAPLUS
 DOCUMENT NUMBER: 140:423697
 TITLE: Process for making risperidone and intermediates
 therefor
 INVENTOR(S): Slanina, Pavel; Bartl, Jiri
 PATENT ASSIGNEE(S): Czech Rep.
 SOURCE: U.S. Pat. Appl. Publ., 12 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097523	A1	20040520	US 2003-705926	20031113
WO 2004043923	A1	20040527	WO 2003-EP12504	20031107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG.
 AU 2003288017 A1 20040603 AU 2003-288017 20031107
 EP 1560814 A1 20050810 EP 2003-779870 20031107
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 CN 1720228 A 20060111 CN 2003-80104962 20031107
 NO 2005002859 A 20050805 NO 2005-2859 20050613
 PRIORITY APPLN. INFO.: US 2002-425727P P 20021113
 WO 2003-EP12504 W 20031107

GI



AB The formation of risperidone is enhanced by the use of enriched Z-isomer oxime intermediate(s) I or II. The oxime(s) can be isomerically enriched by a variety of techniques including the use of the novel acetic acid salt thereof, which affords, inter alia, resolution of the isomers and/or by heat conversion. Thus, reacting 4-(2,4-difluorobenzoyl)piperidine.HCl with H₂NOH.HCl followed by treatment with AcOH afforded (Z)-I.AcOH which was then converted to (Z)-I free base. The latter was reacted with 3-(2-chloroethyl)-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one hydrochloride to provide (Z)-II. Cyclization of (Z)-II afforded 95% risperidone.

IT 132961-05-8P 691007-09-7P 691007-10-0P

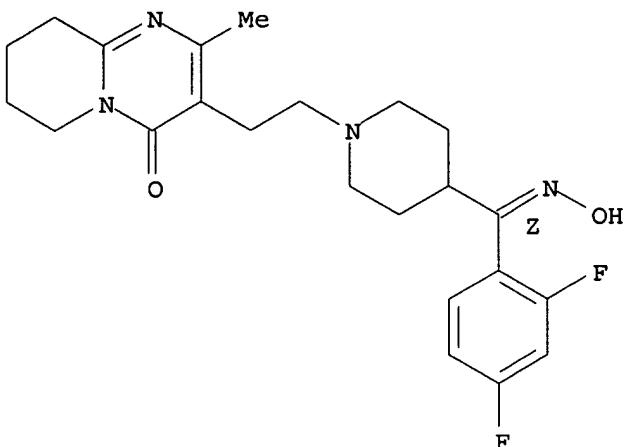
691007-11-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for making risperidone by cyclization of enriched Z-isomer oxime)

RN 132961-05-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

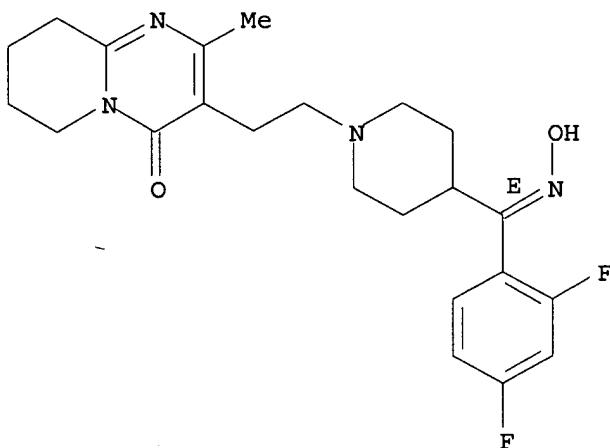
Double bond geometry as shown.



RN 691007-09-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 691007-10-0 CAPLUS

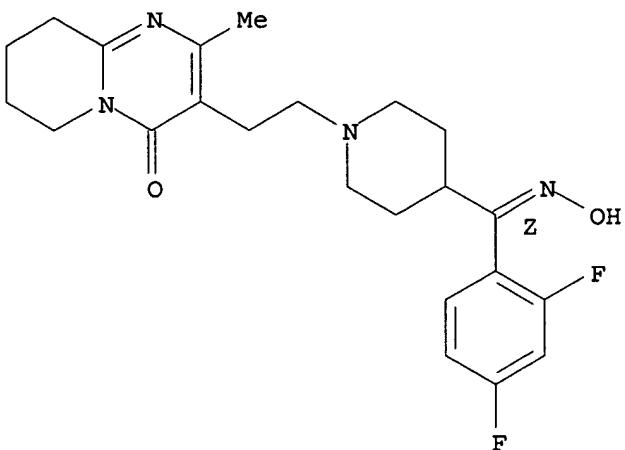
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(Z)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132961-05-8

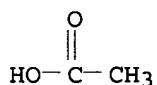
CMF C23 H28 F2 N4 O2

Double bond geometry as shown.



CM 2

CRN 64-19-7
 CMF C2 H4 O2

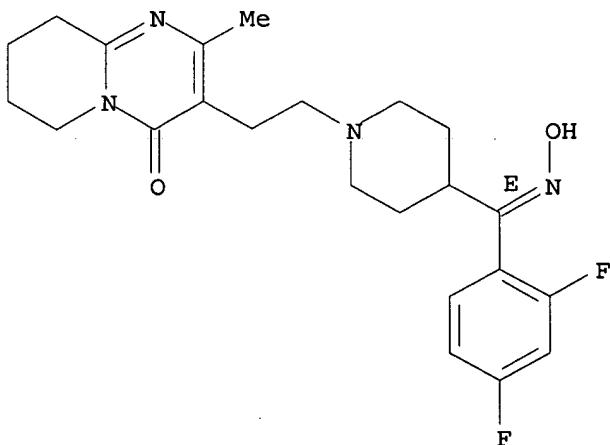


RN 691007-11-1 CAPLUS
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(E)-(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 691007-09-7
 CMF C23 H28 F2 N4 O2

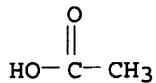
Double bond geometry as shown.



CM 2

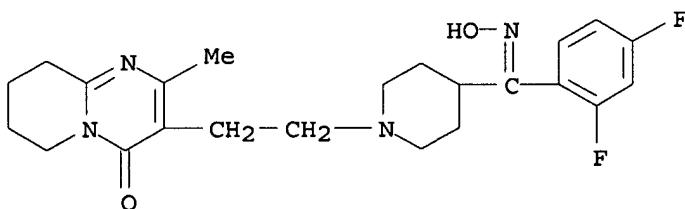
CRN 64-19-7

CMF C2 H4 O2



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:80688 CAPLUS
DOCUMENT NUMBER: 140:111428
TITLE: Preparation of antipsychotic risperidone
INVENTOR(S): Meenakshisunderam, Sivakumaran; Rama, Shankar; Chetan, Pandit
PATENT ASSIGNEE(S): Aurobindo Pharma Ltd., India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009591	A1	20040129	WO 2003-IN207	20030602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237597	A1	20040209	AU 2003-237597	20030602
PRIORITY APPLN. INFO.:			IN 2002-MA545	A 20020722
			WO 2003-IN207	W 20030602
OTHER SOURCE(S):	CASREACT	140:111428		
AB	The title compound is prepared by reaction of 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido-[1,2-a]pyrimidin-4-one with 4-(2,4-difluorobenzoyl)piperidine oxime to form oxime; and in situ cyclization of oxime to form risperidone in solvent acetonitrile, N,N-dimethylformamide or Me iso-Bu ketone.			
IT	158697-66-6P			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			
	(preparation of antipsychotic risperidone)			
RN	158697-66-6	CAPLUS		
CN	4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)			



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:396885 CAPLUS
DOCUMENT NUMBER: 138:401742
TITLE: Improved process for the preparation of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (Risperidone)
INVENTOR(S): Pongo, Laszlo; Reiter, Jozsef; Simig, Gyula; Berecz, Gabor; Clementis, Gyorgy; Slegel, Peter; Szilagyi, Janos; Koncz, Laszlo; Vereczkeyne Donath, Gyorgyi; Nagy, Kalman; Koertvelyessy, Gyulane
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042212	A1	20030522	WO 2002-HU120	20021113
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1461338	A1	20040929	EP 2002-803068	20021113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005513019	T2	20050512	JP 2003-544048	20021113
BG 108757	A	20050331	BG 2004-108757	20040611
US 2005004141	A1	20050106	US 2004-495362	20040820
PRIORITY APPLN. INFO.:			HU 2001-4873	A 20011113
			WO 2002-HU120	W 20021113
OTHER SOURCE(S): GI	CASREACT 138:401742; MARPAT 138:401742			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

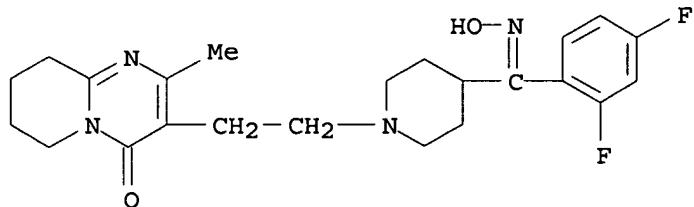
- AB The invention relates to a process for the preparation of risperidone I, well-known antipsychotic agent, and pharmaceutically acceptable acid addition salts thereof by subjecting the oxime II to ring-closure in the presence of an alkali hydroxide, alkali carbonate or alkali alkoxide in an inert organic solvent, converting the base I thus obtained into an acid addition salt or setting free the base I from an acid addition salt thereof which comprises reacting a halogen derivative III (wherein Hal = halogen) with piperidine oxime derivative IV, or an acid addition salt thereof in the presence of a base, and using by the ring-closure of the oxime II formed a alkanol as inert solvent. The process of the present invention enables the economical preparation of a product having a purity suitable for pharmaceutical purposes.
- IT 158697-66-6P, 3-[2-[4-[(2,4-Difluorophenyl)-(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-

4-one

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:655824 CAPLUS

DOCUMENT NUMBER: 121:255824

TITLE: Process for preparation of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidino]ethyl]-2-methyl-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one [risperidone]

INVENTOR(S): Marquillas Olondriz, Francisco; Bosch Rovira, Anna; Dalmases Barjoan, Pere; Caldero Ges, Jose Maria

PATENT ASSIGNEE(S): Vita-Invest, S.A., Spain

SOURCE: Span., 7 pp.

CODEN: SPXXAD

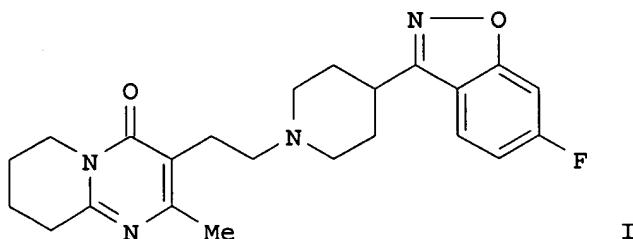
DOCUMENT TYPE: Patent

LANGUAGE: Spanish

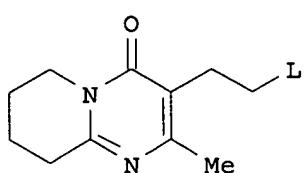
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

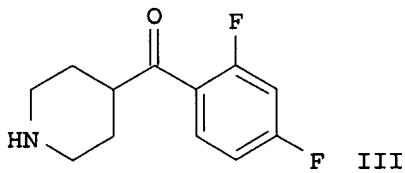
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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ES 2050069	A1	19940501	ES 1992-1424	19920710
ES 2050069	B1	19941216	ES 1992-1424	19920710
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	CASREACT	121:255824		
GI				



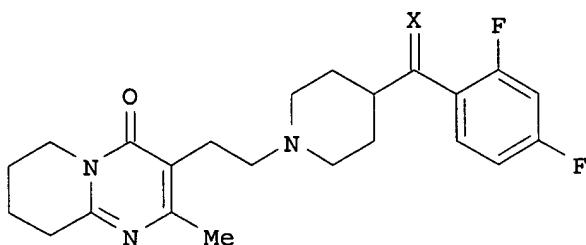
I



II



III



IV

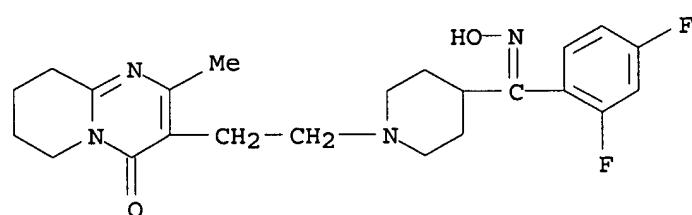
AB Title compound I, i.e. the antipsychotic risperidone, is prepared in 3 steps: (1) condensation of pyridopyrimidine derivs. II [L = leaving group such as halo, alkyl- or arylsulfonyl (sic)] with (difluorobenzoyl)piperidine III; (2) oximation of the resultant compound IV (X = O) with NH₂OH.HCl; and (3) cyclization of the oxime IV (X = NOH) under basic conditions. In a series of examples, II (L = Cl) was prepared in 3 steps and III.HCl was prepared in 4 steps. Reaction of these 2 compds. in refluxing MeCN in the presence of NaHCO₃ and KI gave after workup 63.1% IV.2HCl (X = O). Oximation of this with NH₂OH.HCl in refluxing pyridine-EtOH mixture containing KOH gave 76.2% IV (X = NOH). Cyclization of the oxime using NaH in refluxing THF (84.7%) or refluxing aqueous KOH (78.7%) gave I.

IT 158697-66-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclization; preparation of risperidone)

RN 158697-66-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(2,4-difluorophenyl)(hydroxyimino)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.01	193.16
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

STN INTERNATIONAL LOGOFF AT 21:23:33 ON 16 JUN 2006